### What is claimed is:

#### 1. A compound of the formula

in which

W is O, S, S(O) or  $S(O)_2$ ;

X is -SR4, -S(O)<sub>2</sub>R4, or -S(O)<sub>2</sub>NR5R6; or X is -C(O)NR5R6 provided that -C(O)NR5R6 is located at the 3'-, 4'- or 5' position;

Y is O or H<sub>2</sub>;

Z is hydrogen, halogen, hydroxy, optionally substituted alkoxy, aralkoxy, acyloxy or alkoxycarbonyloxy;

R is hydrogen, halogen, trifluoromethyl, lower alkyl or cycloalkyl;

Q is 5-tetrazolyl; or Q is -C(O)R1 wherein R1 is hydroxy, optionally substituted alkoxy, aryloxy, heteroaryloxy, aralkoxy, cycloalkoxy, heteroaralkoxy or -NR5R6;

R2 is hydrogen, halogen or alkyl;

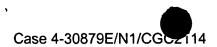
R3 is halogen or alkyl;

R4 is optionally substituted alkyl, aryl, aralkyl, heteroaralkyl or heteroaryl;

R5, R6 and R7 are independently hydrogen, optionally substituted alkyl, cycloalkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl; or R5 and R6 combined are alkylene optionally interrupted by O, S, S(O), S(O)<sub>2</sub> or NR7 which together with the nitrogen atom to which they are attached form a 5- to 7- membered ring;

n represents zero or an integer from 1 to 4; or a pharmaceutically acceptable salt thereof.

# 2. A compound according to claim 1 in which



W is O, S, S(O) or  $S(O)_2$ ;

X is -SR4, -S(O)<sub>2</sub>R4, or -S(O)<sub>2</sub>NR5R6; or X is -C(O)NR5R6 provided that -C(O)NR5R6 is located at the 3'-, 4'- or 5' position;

Y is O or H<sub>2</sub>;

Z is hydrogen, halogen, hydroxy, optionally substituted alkoxy, aralkoxy, acyloxy or alkoxycarbonyloxy;

R is hydrogen, halogen, trifluoromethyl, lower alkyl or cycloalkyl;

Q is -C(O)R1 wherein R1 is hydroxy, optionally substituted alkoxy, aryloxy, heteroaryloxy, aralkoxy, cycloalkoxy, heteroaralkoxy or -NR5R6;

R2 is hydrogen, halogen or alkyl;

R3 is halogen or alkyl;

R4 is optionally substituted alkyl, aryl, aralkyl, heteroaralkyl or heteroaryl;

R5, R6 and R7 are independently hydrogen, optionally substituted alkyl, cycloalkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl; or R5 and R6 combined are alkylene optionally interrupted by O, S, S(O), S(O)<sub>2</sub> or NR7 which together with the nitrogen atom to which they are attached form a 5- to 7- membered ring;

n represents zero or an integer from 1 to 4; or a pharmaceutically acceptable salt thereof.

3. A compound according to claim 2 in which

W is O or S;

X is -S(O)<sub>2</sub>R4; R4 being lower alkyl, phenyl or phenyl substituted by one or more substituents selected from the group consisting of lower alkyl, lower alkoxy, halogen and trifluoromethyl; or X is -S(O)<sub>2</sub>NR5R6; or X is -C(O)NR5R6 located at the 3', 4' or 5'-position; R5, in each case, being hydrogen or lower alkyl and R6, in each case, being hydrogen, lower alkyl, lower alkyl substituted by NR5R6, 3- to 7-membered cycloalkyl, phenyl, phenyl substituted by one or more substituents selected from the group consisting of lower alkyl, lower alkoxy, halogen and trifluoromethyl; pyridyl or N-lower alkyl-2-pyridone; or

R5 and R6 combined, in each case, being alkylene or alkylene interrupted by O or S(O)<sub>2</sub> which together with the nitrogen atom to which they are attached form a 5- to 7- membered ring;

Y is O or H<sub>2</sub>;

Z is hydrogen, halogen, hydroxy, alkoxy, aralkoxy, acyloxy or alkoxycarbonyloxy;

R is hydrogen;

Q is -C(O)R1 wherein R1 is hydroxy, lower alkoxy or -NR5R6; R5 being hydrogen or lower alkyl and R6 being hydrogen, lower alkyl, lower alkoxy or R5 and R6 combined being alkylene or alkylene interrupted by O which together with the nitrogen atom to which they are attached form a 5- to 7- membered ring;

R2 is hydrogen, halogen or lower alkyl;

R3 is halogen or lower alkyl;

n represents zero, 1 or 2;

or a pharmaceutically acceptable salt thereof.

4. A compound according to claim 2 of the formula

in which

W is O or S;

X is -SR4, -S(O)R4,  $-S(O)_2R4$ ,  $-S(O)_2NR5R6$  or -C(O)NR5R6;

Y is O or H<sub>2</sub>;

Z is hydrogen, halogen, hydroxy, alkoxy, aralkoxy, acyloxy or alkoxycarbonyloxy,

R1 is hydroxy, lower alkoxy or aryloxy;



R2 is hydrogen, halogen or lower alkyl;

R3 is halogen or lower alkyl;

R4 is optionally substituted alkyl, aryl, aralkyl, heteroaryl or heteroaralkyl;

R5, R6 and R7 are independently hydrogen, optionally substituted alkyl, cycloalkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl; or R5 and R6 combined are alkylene optionally interrupted by O, S, S(O), S(O)<sub>2</sub> or NR7 which together with the nitrogen atom to which they are attached form a 5- to 7- membered ring;

n represents zero, 1 or 2;

or a pharmaceutically acceptable salt thereof.

# 5. A compound according to claim 2 of the formula

wherein

X is -S(O)<sub>2</sub>R4, -S(O)<sub>2</sub>NR5R6 or -C(O)NR5R6;

Z is hydroxy, lower alkanoyloxy or alkoxy;

R1 is hydroxy or lower alkoxy;

R2 and R3 are lower alkyl;

R4 is aryl;

R5, R6 and R7 are independently hydrogen, optionally substituted alkyl, cycloalkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl; or R5 and R6 combined are alkylene optionally interrupted by O, S, S(O),  $S(O)_2$  or NR7 which together with the nitrogen atom to which they are attached form a 5- to 7- membered ring; which may optionally contain another heteratom selected from oxygen, nitrogen and sulfur; or a pharmaceutically acceptable salt thereof.



- 6. A compound according to claim 5 wherein X is -S(O)<sub>2</sub>R4 or -S(O)<sub>2</sub>NR5R6.
- 7. A compound according to claim 2 of the formula

wherein

X is  $-S(O)_2R4$  or  $-S(O)_2NR5R6$ ;

R4 is monocyclic aryl;

R5, R6 and R7 are independently hydrogen, optionally substituted alkyl or aryl; or R5 and R6 combined are CH<sub>2</sub>CH<sub>2</sub>-U-CH<sub>2</sub>CH<sub>2</sub> wherein U is CH<sub>2</sub>, O, NR7, S, S(O) or S(O)<sub>2</sub> which together with the nitrogen atom to which they are attached from a 6-membered ring; or a pharmaceutically acceptable prodrug ester thereof; or a pharmaceutically acceptable salt thereof.

- 8. A compound according to claim 7 wherein X is S(O)₂R4 and R4 is phenyl optionally substituted by lower alkyl, halo, lower alkoxy or trifluoromethyl; or a pharmaceutically acceptable salt thereof; or a pharmaceutically acceptable prodrug ester thereof.
- 9. A compound according to claim 7 which is selected from:

N-[4-(4-Hydroxy-3-phenylsulfamoylphenoxy)-3,5-dimethylphenyl]oxamic acid;

N-[4-(4-Hydroxy-3-isopropylsulfamoylphenoxy)-3,5-dimethylphenyl]oxamic acid;

N-[4-(4-Hydroxy-3-isobutylsulfamoylphenoxy)-3,5-dimethylphenyl]oxamic acid; and

N-{4[3-(4-Fluorobenzenesulfonyl)-4-hydroxyphenoxy]-3,5-dimethylphenyl}oxamic acid;



or a pharmaceutically acceptable salt thereof; or a pharmaceutically acceptable prodrug ester thereof.

10. A compound according to claim 7 which is:

N-{4[3-(4-Fluorobenzenesulfonyl)-4-hydroxyphenoxy]-3,5-dimethylphenyl}oxamic acid; or a pharmaceutically acceptable salt thereof; or a pharmaceutically acceptable prodrug ester thereof.

11. A compound according to claim 1 which is selected from:

N-{4-[3-(2,2-Dimethylpropylsulfamoyl)-4-hydroxyphenoxy]-3,5-dimethylphenyl}oxamic acid;
N-[4-(4-Hydroxy-3-phenylsulfamoyl)-4-hydroxyphenoxy]-3,5-dimethylphenyl}oxamic acid;
N-{4-[3-(4-Fluorophenylsulfamoyl)-4-hydroxyphenoxy]-3,5-dimethylphenyl}oxamic acid;
N-{4-[3-(2-Fluorophenylsulfamoyl)-4-hydroxyphenoxy]-3,5-dimethylphenyl}oxamic acid;
N-{4-[3-(3-Fluorophenylsulfamoyl)-4-hydroxyphenoxy]-3,5-dimethylphenyl}oxamic acid;
N-{4-[4-Hydroxy-3-(4-methoxyphenylsulfamoyl)phenoxy]-3,5-dimethylphenyl}oxamic acid;
N-{4-[4-Hydroxy-3-(methylphenylsulfamoyl)phenoxy]-3,5-dimethylphenyl}oxamic acid;
N-{4-[4-Hydroxy-3-propylsulfamoylphenoxy)-3,5-dimethylphenyl]oxamic acid;
N-[4-(4-Hydroxy-3-isopropylsulfamoylphenoxy)-3,5-dimethylphenyl]oxamic acid;
N-[4-(3-Butylsulfamoyl-4-hydroxyphenoxy)-3,5-dimethylphenyl]oxamic acid;
N-[4-(3-t-Butylsulfamoyl-4-hydroxyphenoxy)-3,5-dimethylphenyl]oxamic acid;
N-[4-(3-t-Butylsulfamoyl-4-hydroxyphenoxy)-3,5-dimethylphenyl]oxamic acid;
N-[4-(3-Cyclohexylsulfamoyl-4-hydroxyphenoxy)-3,5-dimethylphenyl]oxamic acid;

N-{4-[4-Hydroxy-3-(pyrrolidine-1-sulfonyl)phenoxy]-3,5-dimethylphenyl}oxamic acid;



N-{4-[4-Hydroxy-3-(piperidine-1-sulfonyl)phenoxy]-3,5-dimethylphenyl}oxamic acid; N-{4-[4-Hydroxy-3-(2-methoxyethylsulfamoyl)phenoxy]-3,5-dimethylphenyl}oxamic acid; N-{4-[4-Hydroxy-3-(morpholine-4-sulfonyl)phenoxy]-3,5-dimethylphenyl}oxamic acid; N-{4-[3-(Dioxothiomorpholine-4-sulfonyl)-4-hydroxyphenoxy]-3,5-dimethylphenyl}oxamic acid; N-{4-[4-Hydroxy-3-(pyridin-3-ylsulfamoyl)phenoxy]-3,5-dimethylphenyl}oxamic acid; N-{4-[4-Hydroxy-3-(1-methyl-6-oxo-1,6-dihydropyridin-3-ylsulfamoyl)phenoxy]-3,5dimethylphenyl}oxamic acid; N-{4-[3(4-Fluorophenylsulfamoyl)-4-hydroxyphenylsulfanyl]-3,5-dimethylphenyl}oxamic acid; N-{4-[3-(4-Fluorophenylsulfamoyl)phenoxy]-3,5-dimethylphenyl}oxamic acid; N-{4-[3-(4-Fluorophenylsulfamoyl)-4-hydroxyphenoxy]-3-methylphenyl}oxamic acid; N-{4-[3-(4-Fluorobenzenesulfonyl)-4-hydroxyphenoxy]-3,5-dimethylphenyl}oxamic acid; N-[4-(3-Benzenesulfonyl-4-hydroxyphenoxy)-3,5-dimethylphenyl]oxamic acid; N-{4-[3-(4-Chlorobenzenesulfonyl)-4-hydroxyphenoxy]-3,5-dimethylphenyl}oxamic acid; N-{4-[4-Hydroxy-3-(toluene-4-sulfonyl)phenoxy]-3,5-dimethylphenyl}oxamic acid; N-{4-[4-Hydroxy-3-(4-methoxybenzenesulfonyl)phenoxy]-3,5-dimethyl-phenyl}oxamic acid; N-{4-[4-Hydroxy-3-(4-trifluoromethylbenzenesulfonyl)phenoxy]-3,5-dimethylphenyl}oxamic acid; N-[4-(4-Hydroxy-3-methanesulfonylphenoxy)-3,5-dimethylphenyl]oxamic acid; N-{4-{3-(Butane-1-sulfonyl)-4-hydroxyphenoxy]-3,5-dimethylphenyl}oxamic acid; N-{4-[4-Hydroxy-3-(propane-2-sulfonyl)phenoxy]-3,5-dimethylphenyl}oxamic acid N-{4-[3-(4-Fluorobenzenesulfonyl)-4-hydroxyphenoxy]-3,5-dimethylphenyl}malonamic acid; N-{4-[3-(4-Fluorobenzenesulfonyl)-4-hydroxyphenoxy]-3,5-dimethylphenyl}succinamic acid; 3-{4-[3-(4-Fluorobenzenesulfonyl)-4-hydroxyphenoxy]-3,5-dimethylphenylamino}propionic acid; N-{4-[3-(4-Fluorobenzenesulfonyl)-4-hydroxyphenoxy]-3-methylphenyl}oxamic acid;

N-{3,5-Dibromo-4[3-(4-fluorobenzenesulfonyl)-4-hydroxyphenoxy]phenyl}oxamic acid;

N-{4-[3-(4-Fluorobenzenesulfonyl)-4-hydroxyphenoxy]-3,5-dimethylphenyl}oxalamide;

N-{4-[3-(4-Fluorobenzenesulfonyl)-4-hydroxyphenoxy]-3,5-dimethylphenyl}-N'-propyl-oxalamide;

N-{4-[3-(4-Fluorobenzènesulfonyl)-4-hydroxyphenoxy]-3,5-dimethylphenyl}-N'-isopropyloxalamide;

N-Butyl-N'-{4-[3-(4-fluorobenzenesulfonyl)-4-hydroxyphenoxy]-3,5-dimethylphenyl}-oxalamide;

N-{4-[3-(4-Fluorobenzenesulfonyl)-4-hydroxyphenoxy]-3,5-dimethylphenyl}-N'-(2-methoxyethyl)oxalamide;

N-{4-[3-(4-Fluorobenzenesulfonyl)-4-hydroxyphenoxy]-3,5-dimethylphenyl}-2-morpholin-4-yl-2-oxoacetamide;

N-{4-[3-(4-Fluorobenzenesulfonyl)-4-hydroxyphenoxy]-3,5-dimethylphenyl}-2-morpholin-4-yl-2-oxoacetamide;

N-{4-[4-Hydroxy-3-(piperidine-1-carbonyl)phenoxy]-3,5-dimethylphenyl}oxamic acid;

N-{4-[4-Hydroxy-3-(morpholine-4-carbonyl)phenoxy]-3,5-dimethylphenyl}oxamic acid;

N-[4-(3-Cyclohexylcarbamoyl-4-hydroxyphenoxy)-3,5-dimethylphenyl]oxamic acid;

N-{4-[4-Hydroxy-3-(2-methoxyethylcarbamoyl)phenoxy]-3,5-dimethylphenyl}oxamic acid;

N-{4-[4-Hydroxy-3-(2-morpholin-4-yl-ethylcarbamoyl)phenoxy]-3,5-dimethylphenyl}oxamic acid; and

N-{4-[4-Hydroxy-3-(pyridin-3-ylcarbamoyl)phenoxy]-3,5-dimethylphenyl}oxamic acid; or a pharmaceutically acceptable salt thereof.

#### 12. A compound according to claim 1 of the formula

$$Q \xrightarrow{(CH_2)n} N \xrightarrow{3 \atop N} S \xrightarrow{R3} X \xrightarrow{4'} Z$$
 (IA')

in which



W is O or S;

X is -SR4, -S(O)R4, -S(O)<sub>2</sub>R4, -S(O)<sub>2</sub>NR5R6 or -C(O)NR5R6;

Y is O or  $H_2$ ;

Z is hydrogen, halogen, hydroxy, alkoxy, aralkoxy, acyloxy or alkoxycarbonyloxy;

Q is 5-tetrazolyl;

R2 is hydrogen, halogen or lower alkyl;

R3 is halogen or lower alkyl;

R4 is optionally substituted alkyl, aryl, aralkyl, heteroaryl or heteroaralkyl;

R5, R6 and R7 are independently hydrogen, optionally substituted alkyl, cycloalkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl; or R5 and R6 combined are alkylene optionally interrupted by O, S, S(O), S(O)<sub>2</sub> or NR7 which together with the nitrogen atom to which they are attached form a 5- to 7- membered ring;

n represents zero, 1 or 2;

or a pharmaceutically acceptable salt thereof.

13. A compound according to claim 1 of the formula

$$Q = \begin{pmatrix} 3 & 2 & 3 & X \\ N & 5 & R3 & 4 & Z \end{pmatrix}$$
 (IB')

wherein

X is  $-S(O)_2R4$ ,  $-S(O)_2NR5R6$  or -C(O)NR5R6;

Q is 5-tetrazolyl;

R1 is hydroxy or lower alkoxy;

R2 and R3 are lower alkyl;

R4 is aryl;



R5, R6 and R7 are independently hydrogen, optionally substituted alkyl, cycloalkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl; or R5 and R6 combined are alkylene optionally interrupted by O, S, S(O), S(O)<sub>2</sub> or NR7 which together with the nitrogen atom to which they are attached form a 5- to 7- membered ring; which may optionally contain another heteratom selected from oxygen, nitrogen and sulfur; or a pharmaceutically acceptable salt thereof.

- 14. A compound according to claim 13 wherein X is -S(O)<sub>2</sub>R4 or -S(O)<sub>2</sub>NR5R6.
- 15. A compound according to claim 1 of the formula

wherein

X is  $-S(O)_2R4$  or  $-S(O)_2NR5R6$ ;

Q is 5-tetrazolyl;

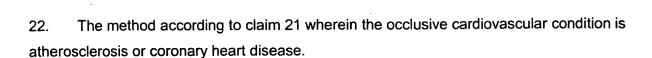
R4 is monocyclic aryl;

R5, R6 and R7 are independently hydrogen, optionally substituted alkyl or aryl; or R5 and R6 combined are CH<sub>2</sub>CH<sub>2</sub>-U-CH<sub>2</sub>CH<sub>2</sub> wherein U is CH<sub>2</sub>, O, NR7, S, S(O) or S(O)<sub>2</sub> which together with the nitrogen atom to which they are attached from a 6-membered ring; or a pharmaceutically acceptable prodrug ester thereof; or a pharmaceutically acceptable salt thereof.

16. A compound according to claim 15 wherein X is S(O)<sub>2</sub>R4 and R4 is phenyl optionally substituted by lower alkyl, halo, lower alkoxy or trifluoromethyl; or a pharmaceutically acceptable salt thereof; or a pharmaceutically acceptable prodrug ester thereof.

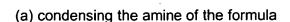


- 17. A compound according to claim 15 which is selected from:
- 1H-Tetrazole-5-carboxylic acid {4-[3-(4-fluorobenzenesulfonyl)-4-hydroxyphenoxy]-3,5-dimethylphenyl}amide;
- 1H-Tetrazole-5-carboxylic acid {3-chloro-4-[3-(4-fluorobenzenesulfonyl)-4-hydroxyphenoxy]-5-methylphenyl}amide;
- 1H-Tetrazole-5-carboxylic acid {3,5-dichloro-4-[3-(4-fluorobenzenesulfonyl)-4-hydroxyphenoxy]-phenyl}amide.
- 18. A method for the prevention and/or treatment of conditions responsive to thyromimetic activity in mammals which comprises administering to a mammal in need thereof an effective amount of a compound according to claim 1.
- 19. A method for the prevention and/or treatment of a disease associated with an imbalance of thyroid hormones and for the prevention and/or treatment of occlusive cardiovascular conditions in which hyperlipidemia and hyperlipoproteinemia are implicated and for the prevention and treatment of hypo- and hyper-thyroidism, obesity, osteoporosis and depression, for the reduction of total cholesterol plasma levels and levels of LDL-cholesterol and for the prevention and treatment of atherosclerosis and coronary heart disease which comprises administering to a mammal in need thereof an effective amount of a compound according to claim 1.
- 20. A method of lowering LDL cholesterol levels in mammals which comprises administering to a mammal in need thereof an effective LDL cholesterol lowering amount of a compound according to claim 1.
- 21. A method for the prevention and/or treatment of occlusive cardiovascular conditions in mammals which comprises administering to a mammal in need thereof an effective cholesterol lowering amount of a compound according to claim 1.



- 23. A method of lowering Lp(a) levels in mammals which comprises administering to a mammal in need thereof an effective Lp(a) lowering amount of a compound according to claim 1.
- 24. A method of treating hyperlipidemia and hyperlipoproteinemia in mammals which comprises administering to a mammal in need thereof an effective amount of a compound according to claim 1.
- 25. A pharmaceutical composition comprising a therapeutically effective amount of a compound of claim 1 in combination with one or more pharmaceutically acceptable carriers.
- 26. A method of lowering LDL cholesterol levels in mammals which comprises administering to a mammal in need thereof an effective LDL cholesterol lowering amount of a compound according to claim 1.
- 27. A method of lowering Lp(a) levels in mammals which comprises administering to a mammal in need thereof an effective Lp(a) lowering amount of a compound according to claim 10.
- 28. A method for the preparation of a compound of the formula

in which R1 is hydroxy, R4 is optionally substituted alkyl, aryl, aralkyl, heteroaryl or heteroaralkyl; R2 is hydrogen, halogen or lower alkyl; R3 is halogen or lower alkyl; and n represents zero or an integer from 1 to 4; or a pharmaceutically acceptable salt thereof; which method comprises:



with a reactive functional derivative of an acid corresponding to the formula

 $R1(CO)-(CH_2)_n-COOH$  (XIII)

in which R1 is optionally substituted alkoxy, aryloxy, heteroaryloxy, aralkoxy, cycloalkoxy or heteroaralkoxy; and n has meaning as defined for formula XIB to obtain a compound of the formula

$$R1$$
  $(CH_2)$  $n$   $R2$   $O$   $S$   $R4$   $(XIB)$ 

in which R1 is as defined for formula XIII, and R2, R3 and R4 have meanings as defined for formula XIB; and

- (b) hydrolyzing the compound of formula XIB in which R1 is optionally substituted alkoxy, aryloxy, heteroaryloxy, aralkoxy, cycloalkoxy or heteroaralkoxy to obtain a compound of formula XIB in which R1 is hydroxy, and R2, R3, R4 and n are as described above; and if desired converting said compounds of formula XIB to a pharmaceutically acceptable salt thereof.
- 29. A method for the preparation of a compound of the formula

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ R1 & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

in which R1 is hydroxy, R4 is optionally substituted alkyl, aryl, aralkyl, heteroaryl or heteroaralkyl; R2 is hydrogen, halogen or lower alkyl; R3 is halogen or lower alkyl; and n represents zero or an integer from 1 to 4; or a pharmaceutically acceptable salt thereof; which method comprises:

# (a) reacting a compound of the formula

in which R4 has meaning as defined for formula XIB with a compound of the formula

in which L is trifluoromethylsulfonyloxy, chloro or fluoro, and R2 and R3 have meanings as defined for formula XIB to obtain a compound of the formula

(b) converting the nitro compound of formula IXA to a corresponding amine of the formula

in which R2, R3 and R4 have meanings as defined for formula XIB;

Case 4-30879E/N1/CG

(c) condensing the amine of formula XA with a reactive functional derivative of an acid corresponding to the formula

$$R1(CO)-(CH_2)_n-COOH$$
 (XIII)

in which R1 is optionally substituted alkoxy, aryloxy, heteroaryloxy, aralkoxy, cycloalkoxy or heteroaralkoxy; and n has meaning as defined for formula XIB to obtain a compound of the formula

in which R1 is as defined for formula XIII, and R2, R3 and R4 have meanings as defined for formula XIB; and

- (d) hydrolyzing the compound of formula XIB in which R1 is optionally substituted alkoxy, aryloxy, heteroaryloxy, aralkoxy, cycloalkoxy or heteroaralkoxy to obtain a compound of formula XIB in which R1 is hydroxy, and R2, R3, R4 and n are as described above; and if desired converting said compounds of formula XIB to a pharmaceutically acceptable salt thereof.
- 30. The method according to claim 29, wherein the compound of formula XII in step (a) is prepared by reacting 1,4-benzoquinone with a sulfinic acid of the formula

in which R4 is as defined in said claim.

31. The method according to claim 29, wherein the sulfinic acid of formula XIV is prepared by reducing a compound of the formula

$$R4S(O)_2CI$$
 (XV)

in which R4 is as defined in said claim.

- 32. The method according to claim 29, wherein the reactive functional derivative of an acid in step (c) is selected from the group consisting of ethyl oxalyl chloride, ethyl malonyl chloride, ethyl succinyl chloride, dimethyl oxalate and diethyl oxalate.
- 33. The method according to claim 29, wherein R4 is aryl and n represents zero, 1 or 2.
- 34. The method according to claim 29 for the preparation of a compound of the formula

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

in which R2 is hydrogen, methyl or chloro, R3 is methyl or chloro, and R4 is monocyclic aryl; or a pharmaceutically acceptable salt thereof.

- 35. The method according to claim 34, wherein R4 is phenyl optionally substituted by lower alkyl, halogen, lower alkoxy or trifluoromethyl.
- 36. The method according to claim 29, wherein the compound of formula XIB is selected from the group consisting of:

N-{4-[3-(4-Fluorobenzenesulfonyl)-4-hydroxyphenoxy]-3,5-dimethylphenyl}oxamic acid;

N-[4-(3-Benzenesulfonyl-4-hydroxyphenoxy)-3,5-dimethylphenyl]oxamic acid;

N-{4-[3-(4-Chlorobenzenesulfonyl)-4-hydroxyphenoxy]-3,5-dimethylphenyl}oxamic acid;

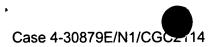
N-{4-[4-Hydroxy-3-(toluene-4-sulfonyl)phenoxy]-3,5-dimethylphenyl}oxamic acid;

N-{4-[4-Hydroxy-3-(4-methoxybenzenesulfonyl)phenoxy]-3,5-dimethylphenyl}oxamic acid;

N-{4-[4-Hydroxy-3-(4-trifluoromethylbenzenesulfonyl)phenoxy]-3,5-dimethylphenyl}oxamic acid;

N-[4-(4-Hydroxy-3-methanesulfonylphenoxy)-3,5-dimethylphenyl]oxamic acid;

N-{4-[3-(Butane-1-sulfonyl)-4-hydroxyphenoxy]-3,5-dimethylphenyl}oxamic acid;



N-{4-[4-Hydroxy-3-(propane-2-sulfonyl)phenoxy]-3,5-dimethylphenyl}oxamic acid N-{4-[3-(4-Fluorobenzenesulfonyl)-4-hydroxyphenoxy]-3,5-dimethylphenyl}malonamic acid; N-{4-[3-(4-Fluorobenzenesulfonyl)-4-hydroxyphenoxy]-3,5-dimethylphenyl}succinamic acid; N-{4-[3-(4-Fluorobenzenesulfonyl)-4-hydroxyphenoxy]-3-methylphenyl}oxamic acid; and N-{3,5-Dibromo-4[3-(4-fluorobenzenesulfonyl)-4-hydroxyphenoxy]phenyl}oxamic acid; N-[3,5-Dichloro-4-(3-cyclopentanesulfonyl-4-hydroxyphenoxy)-phenyl]oxamic acid; N-[3,5-Dichloro-4-(3-cyclopropylmethanesulfonyl-4-hydroxyphenoxy)-phenyl]oxamic acid; N-[3,5-Dichloro-4-(3-cyclobutylmethanesulfonyl-4-hydroxyphenoxy)-phenyl]oxamic acid; N-[4-(3-Cyclopropylmethanesulfonyl-4-hydroxyphenoxy)-3,5-dimethylphenyl]oxamic acid; N-[3-Chloro-4-(3-cyclobutylmethanesulfonyl-4-hydroxyphenoxy)-5-methylphenyl]oxamic acid; N-[4-(3-Cyclobutylmethanesulfonyl-4-hydroxyphenoxy)-3,5-dimethylphenyl]oxamic acid; N-[4-(3-Cyclopentylmethanesulfonyl-4-hydroxyphenoxy)-3,5-dimethylphenyl]oxamic acid; N-[3-Chloro-4-(3-cyclopentylmethanesulfonyl-4-hydroxyphenoxy)-5-methylphenyl]oxamic acid; N-[3,5-Dichloro-4-(3-cyclopentylmethanesulfonyl-4-hydroxyphenoxy)-phenyl]oxamic acid; N-[4-(3-Cyclohexylmethanesulfonyl-4-hydroxyphenoxy)-3,5-dimethylphenyl]oxamic acid; N-[3-Chloro-4-(3-cyclohexylmethanesulfonyl-4-hydroxyphenoxy)-5-methylphenyl]oxamic acid; N-[3.5-Dichloro-4-(3-cyclohexylmethanesulfonyl-4-hydroxyphenoxy)phenyl]oxamic acid; N-[3,5-Dichloro-4-[3-(4-fluorobenzenesulfonyl)-4-hydroxyphenoxy)phenyl]oxamic acid; N-{3-Chloro-4-[3-(4-fluorobenzenesulfonyl)-4-hydroxyphenoxy]-5-methylphenyl}oxamic acid; N-{3,5-Dichloro-4-[3-(4-chlorobenzenesulfonyl)-4-hydroxyphenoxy]phenyl}oxamic acid; N-{3-Chloro-4-[3-(4-chlorobenzenesulfonyl)-4-hydroxyphenoxy]-5-methylphenyl}oxamic acid; N-[4-(4-Hydroxy-3-methanesulfonyl-phenoxy)-3,5-dimethylphenyl]oxamic acid; N-[3.5-Dichloro-4-(3-ethanesulfonyl-4-hydroxyphenoxy)phenyl]oxamic acid; N-{4-[3-(Butane-1-sulfonyl)-4-hydroxyphenoxy]-3,5-dichlorophenyl}oxamic acid;

N-[3,5-Dichloro-4-(4-hydroxy-3-phenylmethanesulfonylphenoxy)phenyl]oxamic acid;
N-{3,5-Dichloro-4-[4-hydroxy-3-(propane-1-sulfonyl)phenoxy]phenyl}oxamic acid;
N-{3,5-Dichloro-4-[3-(4-fluorophenylmethanesulfonyl)-4-hydroxyphenoxy)phenyl}oxamic acid;
or a pharmaceutically acceptable salt thereof.

- 37. The method according to claim 29, wherein the compound of formula XIB is N-{4-[3-(4-Fluorobenzenesulfonyl)-4-hydroxyphenoxy]-3,5-dimethylphenyl}oxamic acid.
- 38. A method for the preparation of a compound of the formula

in which R4 is optionally substituted alkyl, aryl, aralkyl, heteroaryl or heteroaralkyl; R1 is optionally substituted alkoxy, aryloxy, heteroaryloxy, aralkoxy, cycloalkoxy or heteroaralkoxy; R2 is hydrogen, halogen or lower alkyl; R3 is halogen or lower alkyl; and n represents zero or an integer from 1 to 4; which method comprises:

(a) reacting a compound of the formula

in which R4 has meaning as defined for formula XIB with a compound of the formula

in which L is trifluoromethylsulfonyloxy, chloro or fluoro, and R2 and R3 have meanings as defined for formula XIB to obtain a compound of the formula

(b) converting the nitro compound of formula IXA to a corresponding amine of the formula

$$R_{2}$$
 $R_{3}$ 
 $R_{4}$ 
 $R_{3}$ 
 $R_{4}$ 
 $R_{3}$ 
 $R_{4}$ 
 $R_{3}$ 

in which R2, R3 and R4 have meanings as defined for formula XIB; and

(c) condensing the amine of formula XA with a reactive functional derivative of an acid corresponding to the formula

$$R1(CO)-(CH_2)_n-COOH$$
 (XIII)

in which R1 is optionally substituted alkoxy, aryloxy, heteroaryloxy, aralkoxy, cycloalkoxy or heteroaralkoxy; and n has meaning as defined for formula XIB.

- 39. The method according to claim 38, wherein R4 is aryl and n represents zero, 1 or 2.
- 40. The method according to claim 38, wherein R1 is lower alkoxy; R2 and R3 are methyl; R4 is aryl; and n is zero.
- The method according to claim 38, wherein the compound of formula XIB is selected from the group consisting of:



N-{4-[3-(4-Fluorobenzenesulfonyl)-4-hydroxyphenoxy]-3,5-dimethylphenyl}oxamic acid ethyl ester;

N-{3,5-Dichloro-4-[3-(4-chlorobenzenesulfonyl)-4-hydroxyphenoxy]-phenyl}oxamic acid ethyl ester;

N-[4-(3-Benzenesulfonyl-4-hydroxyphenoxy)-3,5-dichlorophenyl]oxamic acid ethyl ester;

N-{3,5-Dichloro-4-[4-hydroxy-3-(naphthalene-1-sulfonyl)phenoxy]phenyl}oxamic acid ethyl ester;

N-{3,5-Dichloro-4-[4-hydroxy-3-(naphthalene-2-sulfonyl)phenoxy]phenyl}oxamic acid ethyl ester;

N-[3.5-Dichloro-4-(3-cyclopropylmethanesulfonyl-4-hydroxyphenoxy)phenyl]oxamic acid ethyl ester;

N-{3,5-Dichloro-4-[4-hydroxy-3-(propane-2-sulfonyl)phenoxy]phenyl}oxamic acid ethyl ester;

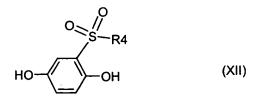
N-[3,5-Dichloro-4-(3-cyclobutylmethanesulfonyl-4-hydroxyphenoxy)phenyl]oxamic acid ethyl ester;

N-[3,5-Dichloro-4-(3-cyclohexylmethanesulfonyl-4-hydroxyphenoxy)phenyl]oxamic acid ethyl ester;

N-[3,5-Dichloro-4-(3-cyclopentanesulfonyl-4-hydroxyphenoxy)phenyl]oxamic acid ethyl ester.

#### 42. A method for the preparation of a compound of the formula

in which R4 is optionally substituted alkyl, aryl, aralkyl, heteroaryl or heteroaralkyl; R2 is hydrogen, halogen or lower alkyl; and R3 is halogen or lower alkyl; which method comprises reacting a compound of the formula



in which R4 has meaning as defined for formula IXA with a compound of the formula

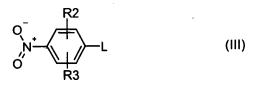
in which L is trifluoromethylsulfonyloxy, chloro or fluoro, and R2 and R3 have meanings as defined above to obtain the compound of formula IXA.

43. A method for the preparation of a compound of the formula

in which R4 is optionally substituted alkyl, aryl, aralkyl, heteroaryl or heteroaralkyl; R2 is hydrogen, halogen or lower alkyl; and R3 is halogen or lower alkyl; which method comprises:

(a) reacting a compound of the formula

in which R4 has meaning as defined for formula XA, with a compound of the formula



in which L is trifluoromethylsulfonyloxy, chloro or fluoro, and R2 and R3 have meanings as defined for formula XA to obtain a compound of the formula

(b) converting the nitro compound of formula IXA to the amine of formula XA in which R2, R3 and R4 have meaning as defined above.